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Declarations under Rule 4.17:

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— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations*

— *of inventorship (Rule 4.17(iv)) for US only*

Published:

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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PROCESS FOR PREPARING RIZATRIPTAN

(57) Abstract: In particular, rizatriptan or a pharmaceutically acceptable salt thereof, which includes a) Preparation of the diazonium salt of the aniline hydrochloride (II); followed by reduction and acidification to give the hydrazine (III); b) reaction in situ of the hydrazine hydrochloride (III) with α-keto-δ-valerolactone, to give the hydrazone (IV); c) Fischer indole reaction of the hydrazone (IV), to give the pyranoindolone (V), optionally followed by a hydrolysis reaction to give (VI); d) Transesterification of (V) or esterification of its hydrolysis product (VI), to give (VII), where R means straight or branched C1-C4 alkyl chain; e) Conversion of the hydroxyl group of (VII) into dimethylamino, to give the indolecarboxylate (VIII), where R has the meaning defined above; f) Saponification of the 2-carboalkoxy group of (VIII) to give indolecarboxylic acid (IX); and g) Decarboxylation of the indolecarboxylic acid (IX) to give rizatriptan and, eventually, to obtain a pharmaceutically acceptable salt thereof. The invention also relates to synthesis intermediates to obtain rizatriptan.

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INTERNATIONAL SEARCH REPORT

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A. CLASSIFICATION OF SUBJECT MATTER
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According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 01 34561 A (KNOLL AKTIENGESELLSCHAFT) 17 May 2001 (2001-05-17) page 4 -page 10, line 2	1-7
Y	WO 98 06725 A (MERCK& CO.,INC) 19 February 1998 (1998-02-19) cited in the application page 5 -page 11 page 41 -page 44; examples 2,4-7,10,12-15	1-7, 10-13
Y	WO 94 02476 A (MERCK SHARP & DOHME LIMITED) 3 February 1994 (1994-02-03) page 19 -page 23; claims 1-10	1-7
Y	EP 0 497 512 A (MERCK SHARP & DOHME LIMITED) 5 August 1992 (1992-08-05) cited in the application page 36 -page 48; claims 1-6	1-13

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[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

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Date of the actual completion of the international search	Date of mailing of the international search report
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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